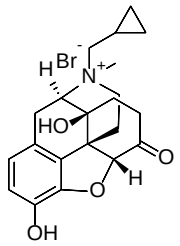
Product data sheet

MedKoo Cat#: 318250 Name: Methylnaltrexone bromide CAS: 73232-52-7 Chemical Formula: $C_{21}H_{26}BrNO_4$ Molecular Weight: 436.346	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Methylnaltrexone, used in form of methylnaltrexone bromide (INN, USAN, BAN), is one of the newer agents of peripherally-acting μ-opioid antagonists that act to reverse some of the side effects of opioid drugs such as constipation without affecting analgesia or precipitating withdrawals. Because Methylnaltrexone is a quaternary ammonium cation, it cannot cross the blood–brain barrier, and so has antagonist effects throughout the body, counteracting effects such as itching and constipation, but without affecting opioid effects in the brain such as analgesia.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	58.21	133.40
PBS (pH 7.2)	10.0	22.92
Water	71.82	164.58

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.29 mL	11.46 mL	22.92 mL
5 mM	0.46 mL	2.29 mL	4.58 mL
10 mM	0.23 mL	1.15 mL	2.29 mL
50 mM	0.05 mL	0.23 mL	0.46 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Gorur A, Patiño M, Shi T, Corrales G, Takahashi H, Rangel R, Gleber-Netto FO, Pickering C, Myers JN, Cata JP. Low doses of methylnaltrexone inhibits head and neck squamous cell carcinoma growth in vitro and in vivo by acting on the mu-opioid receptor. J Cell Physiol. 2021 Nov;236(11):7698-7710. doi: 10.1002/jcp.30421. Epub 2021 May 26. PMID: 34038587.
2. Liu X, Yang J, Yang C, Huang X, Han M, Kang F, Li J. Morphine promotes the malignant biological behavior of non-small cell lung cancer cells through the MOR/Src/mTOR pathway. Cancer Cell Int. 2021 Nov 25;21(1):622. doi: 10.1186/s12935-021-02334-8. PMID: 34823532; PMCID: PMC8613927.

In vivo study

1. Walentiny DM, Komla E, Moisa LT, Mustafa MA, Poklis JL, Akbarali HI, Beardsley PM. Methylnaltrexone crosses the blood-brain barrier and attenuates centrally-mediated behavioral effects of morphine and oxycodone in mice. Neuropharmacology. 2021 Mar 1;185:108437. doi: 10.1016/j.neuropharm.2020.108437. Epub 2020 Dec 11. PMID: 33316279; PMCID: PMC7887091.

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2. Singleton PA, Mambetsariev N, Lennon FE, Mathew B, Siegler JH, Moreno-Vinasco L, Salgia R, Moss J, Garcia JG. Methylnaltrexone potentiates the anti-angiogenic effects of mTOR inhibitors. J Angiogenes Res. 2010 Feb 19;2(1):5. doi: 10.1186/2040-2384-2-5. PMID: 20298531; PMCID: PMC2831839.

7. Bioactivity

Biological target:

Methylnaltrexone, used in form of methylnaltrexone bromide (INN, USAN, BAN), is one of the newer agents of peripherally-acting μ-opioid antagonists that act to reverse some of the side effects of opioid drugs such as constipation without affecting analgesia or precipitating withdrawals.

In vitro activity

This study evaluated the impact of modulating the expression MOR (Mu-opioid receptor) and the effect of MNTX (methylnaltrexone) on the proliferation, clonogenic activity, invasion, and migration of two HNSCC (FaDu and MDA686Tu) cell lines expressing MOR and one cell line (UMSCC47) not expressing the receptor. In vitro studies showed that MNTX strongly inhibited the proliferation, clonogenic activity, invasion, and migration of FaDu and MDA686Tu cells but has no effect on UMSCC47 cells.

Reference: J Cell Physiol. 2021 Nov;236(11):7698-7710. https://pubmed.ncbi.nlm.nih.gov/34038587/

In vivo activity

The goal of this study was to determine whether MNTX (methylnaltrexone) alters centrally-mediated behaviors elicited by the opioid analgesics, morphine and oxycodone, and to quantify concentrations of MNTX and NTX (naltrexone) in blood and brain following their administration in mice. MNTX dose-dependently attenuated acute and chronic morphine antinociception. MNTX and NTX dose-dependently antagonized the discriminative stimulus effects of oxycodone.

Reference: Neuropharmacology. 2021 Mar 1;185:108437. doi https://pubmed.ncbi.nlm.nih.gov/33316279/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.